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FILE COVERS 1967 - 2 Jul 1999 VOL 131 ISS 1 FILE LAST UPDATED: 2 Jul 1999 (19990702/ED)

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L36
             14 S L36 AND ?GLYCO?
L37
             22 S L36 AND ?GLUCO?
L38
             29 S L37, L38
L39
L40
             26 S L36 AND 33/SC, SX
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L48
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=> d bib abs hitstr tot 156
L56 ANSWER 1 OF 29 HCAPLUS COPYRIGHT 1999 ACS
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AN 1998:398250 HCAPLUS

DN 129:67975

TI A process for preparing epirubicin or acid addition salts thereof from daunorubicin

IN Van Der Rijst, Marcel; Scheeren, Johan Wilhelm; De Vos, Dick

PA Pharmachemie B.V., Neth.

SO Eur. Pat. Appl., 16 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

r Auv.	CNII			
	PATENT NO.	KIND DATE	APPLICATION NO.	DATE
PI	EP 848009	Al 19980617	EP 96-203554	19961216 <
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	IE, SI,	LT, LV, FI, RO		
	JP 10175991	A2 19980630	JP 97-4946	19970114 <
	US 5874550	A 19990223	US 97-985358	19971204 <
	CA 2224764	AA 19980616	CA 97-2224764	19971215 <
PRAI	EP 96-203554	19961216 <		

OS MARPAT 129:67975

AB This invention relates to a novel method for the chem. prepn. of epirubicin or acid addn. salts thereof, in particular the HCl salt, from daunorubicin. First daunorubicin is methanolized to obtain daunomycinone and daunosamine Me ether in very high yields. Daunomycinone is converted to 14-acetoxy daunomycinone by bromination and acetoxylation, while daunosamine Me ether is converted into an N-protected 4'-epi daunosamine. The choice of the protecting group of the amino group of the daunosamine Me ether is important because it has to be removed after coupling the sugar with the aglycon without causing side reactions of the aglycon. Two protecting groups were selected: the trifluoroacetyl group and the allyloxycarbonyl group. After coupling the 14-acetoxy daunomycinone with the N-protected 4'-epi daunosamine, the obtained compd. was converted to epirubicin; for the latter conversion two routes were developed, depending on the amino-protecting group.

IT 131528-45-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of epirubicin from daunorubicin using trifluoroacetyl and allyloxycarbonyl as protecting groups)

RN 131528-45-5 HCAPLUS

CN L-lyxo-Hexopyranoside, methyl 3-amino-2,3,6-trideoxy-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HCl

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L56 ANSWER 2 OF 29 HCAPLUS COPYRIGHT 1999 ACS
ΑN
     1997:542342 HCAPLUS
    127:210340
DN
    Methods of inhibiting leaderless protein export using cardiac
TΙ
    glycosides or aglycons
TN
     Florkiewicz, Robert Z.
    Scripps Research Institute, USA
PΑ
     PCT Int. Appl., 60 pp.
SO
     CODEN: PIXXD2
DT
    Patent
    English
LΑ
FAN.CNT 1
                                        APPLICATION NO. DATE
     PATENT NO.
                    KIND DATE
                     ____
PΤ
    WO 9728808
                     A1 19970814
                                         WO 97-US2237
                                                          19970212 <--
        W: AL, AM, AT, AU, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK,
            EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
            LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO,
            RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ,
            BY, KG, KZ, MD, RU, TJ, TM
        RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
            IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,
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    US 5891855
                           19990406
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                                                           19960212 <--
                      Α
    CA 2242245
                      AA
                           19970814
                                          CA 97-2242245
                                                           19970212 <--
    AU 9721231
                      Α1
                           19970828
                                          AU 97-21231
                                                           19970212 <--
    EP 828497
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                           19980318
                                         EP 97-906577
                                                           19970212 <--
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, FI
                                         JP 97-528759
                                                           19970212 <--
                      Т2
                           19990112
     JP 11500454
PRAI US 96-599895
                     19960212 <--
    WO 97-US2237
                     19970212 <--
    This invention provides methods of inhibiting the export of a leaderless
AB
    protein from a cell by contacting the cell with a cardiac
    glycoside or aglycon deriv. Leaderless proteins include
     FGF-1, FGF-2, IL-1.alpha., IL-1.beta., and factor XIIIa.
                                                              For example,
    ouabain and digoxin inhibited the export of fibroblast growth factor-2 (a
    leaderless protein) but not human chorionic gonadotrophin .alpha. in
    transiently transfected COS-1 cells. Ouabain inhibited 50% of export at
     .apprx.0.1 .mu.M and digoxin at .apprx.5 .mu.M; essentially all of 30
    different cardiac glycosides and aglycon derivs.
     substantially inhibited export of FGF-2 from transfected COS cells at 50
     .mu.M. In stably transformed COS cells, 10 .mu.M ouabain completely
    prevented the export of FGF-2 to the cell surface compared to no ouabain.
     FGF-2 export in normal chondrocytes is 50% inhibited at 10-10M. These
    methods are useful in treatment of conditions, including tumors
     and diabetes.
IT
    194660-81-6
     RL: BAC (Biological activity or effector, except adverse); THU
     (Therapeutic use); BIOL (Biological study); USES (Uses)
        (inhibiting leaderless protein export using cardiac glycosides
        or aglycons)
RN
     194660-81-6 HCAPLUS
     .alpha.-L-arabino-Hexopyranose, 4-amino-2, 4, 6-trideoxy-3-0-methyl- (9CI)
CN
     (CA INDEX NAME)
```

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ANSWER 3 OF 29 HCAPLUS COPYRIGHT 1999 ACS
L56
ΑN
     1997:94093 HCAPLUS
     126:104365
DN
TI
     Preparation of substituted liposaccharide analogs useful in the
     treatment and prevention of endotoxemia
     Christ, William J.; Rossignol, Daniel P.; Kobayashi, Seiichi; Kawata,
IN
     Tsutomu
     Eisai Co., Ltd., Japan; Christ, William J.; Rossignol, Daniel P.;
PΑ
     Kobayashi, Seiichi; Kawata, Tsutomu
SO
     PCT Int. Appl., 94 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO.
                                                            DATE
     ______
                            _____
                                           _____
                                                            _____
                            19961212
                                           WO 96-US9578
                                                            19960605 <--
PΙ
    WO 9639411
                      A1
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             ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS,
             LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD,
             SE, SG
         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
             IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA
                            19971028
                                           US 95-461677
                                                            19950605 <--
     US 5681824
                       Α
    US 5750664
                       Α
                            19980512
                                           US 95-461675
                                                            19950605 <--
     CA 2223140
                            19961212
                                           CA 96-2223140
                                                            19960605 <--
                       AA
    AU 9663802
                       Α1
                            19961224
                                           AU 96-63802
                                                            19960605 <--
                       A1
                            19980722.
                                           EP 96-923234
                                                            19960605 <--
     EP 853627
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
                       Α .
     CN 1192216
                            19980902
                                          CN 96-195890
                                                            19960605 <--
     JP 11506793
                       Т2
                            19990615
                                           JP 96-501868
                                                            19960605 <--
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                                                            19971204 <--
     NO 9705644
                       А
                            19980204
PRAI US 95-461675
                      19950605
                               <--
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WO 96-US9578 OS MARPAT 126:104365

19960605

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GΙ

*-

AB Novel substituted liposaccharides in the prophylactic and affirmative treatment of endotoxemia including sepsis, septicemia, and various forms of septic shock and methods of using these agents are provided. Also provided are method of prepg. these agents and intermediates useful therein. Thus, total prepn. of amidodeoxy oligosaccharide I is reported. I inhibited tumor -necrosis factor prodn. in vivo in mice (ED50 = 5 and 10.6 .mu.g/ mouse).

IT 185955-22-0P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(prepn. of substituted **liposaccharide** analogs useful in the treatment and prevention of endotoxemia)

RN 185955-22-0 HCAPLUS

CN .alpha.-D-Glucopyranoside, (1Z)-1-propenyl 2-amino-3-0-decyl-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

L56 ANSWER 4 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1996:186051 HCAPLUS

DN 124:233021

TI Preparation of 2,7-dideoxy-7-fluoro-2, 3-didehydrosialic acid and intermediate for synthesis thereof

IN Iida, Takao; Ohira, Yutaka

PA Daikin Industries Ltd., Japan

SO PCT Int. Appl., 40 pp.

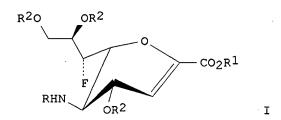
CODEN: PIXXD2

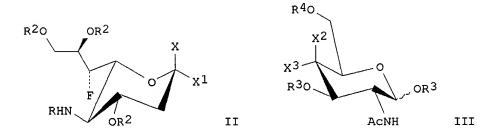
DT Patent

LA Japanese

FAN.CNT 1

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	PATENT NO.	KIND DATE	APPLICATION NO.	DATE
PI	WO 9532955	A1 19951207	WO 95-JP820	19950426 <
	W: AU, CN,	JP, US		
	RW: AT, BE,	CH, DE, DK, ES, FR,	GB, GR, IE, IT, LU	, MC, NL, PT, SE
	AU 9523520	A1 19951221	AU 95-23520	19950426 <
	AU 687197	B2 19980219		
	EP 711766	A1 19960515	EP 95-917464	19950426 <
	R: CH, DE,	FR, GB, LI, SE		
	CN 1128994	A 19960814	CN 95-190475	19950426 <
	US 5627290	A 19970506	US 96-586908	19960126 <
PRAI	JP 94-115014	19940527 <		
	WO 95-JP820	19950426 <		
os	CASREACT 124:23	3021; MARPAT 124:233	3021	
GI				





AB The title compds. (I; R = aliph. acyl; R1 = H, lower alkyl; R2 = H, aliph. or arom. acyl; provided that when R1 = H, R2 = H or when R1 = lower alkyl, R2 = aliph. or arom. acyl) and intermediates therefor (II; X = halo; X1 = CO2R1; wherein R1 = lower alkyl; R, R2 = same as above) and II (X = CO2R1; X1 = thioacyl, thioalkyl, thioaryl; R, R1, R2, = same as above), each

being useful for developing practical medicines such as antiviral agents and preventives for viral diseases, and also as anticancer drugs and immunoregulators, are prepd. via condensation of N-acetyl-4-deoxy-4fluoro-D-glucosamine (III; R3 = R4 = X2 = H, X3 = F) with sodium pyruvate in the presence of N-acetylneuraminic acid aldolase to N-acetyl-7-deoxy-7-fluoroneuraminic acid II (X = OH, X1 = CO2H, R = Ac, R2 = H). Thus, tritylation of the D-galactosamine deriv. .alpha.-III (R3 = Ac, R4 = H, X2 = OH, X3 = H) by trityl chloride in pyridine to the 6-O-trityl-D-galactosamine .alpha.-III (R3 = Ac, R4 = trityl, X2 = OH, X3 = H) (79.6%) followed by fluorination with DAST at -28.degree. to -17.degree. for 30 min and at room temp. for 15 min in CH2Cl2 gave 65.4% 2,4-dideoxy-4-fluoro-D-glucosamine .alpha.-III (R3 = Ac, R4 = trityl, X2 = H, X3 = F), which was heated in 90% aq. AcOH at 50.degree. for 3 h to give 91.5% .alpha.-III (R3 = Ac, R4 = X2 = H, X3 = F) and heated in 3 N HCl at 90.degree. for 3 h to give 68.4% 4-deoxy-4-fluoro-Dglucosamine hydrochloride. Acetylation of the latter compd. with Ac20 in the presence of AcONa in MeOH gave N-acetyl-4-deoxy-4-fluoro-Dglucosamine III (R3 = R4 = X2 = H, X3 = F), which was stirred with sodium pyruvate and NaN3 in the presence of N-acetylneuraminic acid aldolase in H2O (adjusted to pH 10.59 with 2 N NaOH) at 20.degree. for 4 days to give, after ion-exchange chromatog., 19.2% N-acetyl-7-deoxy-7fluoroneuraminic acid II (X = OH, X1 = CO2H, R = Ac, R2 = H). Esterification of the latter compd. with MeOH in the presence of Dowex 50X8 (H-form) to the Me ester II (X = OH, X1 = CO2Me, R = Ac, R2 = H) followed by chlorination with AcCl at 36.degree. for 16 h gave the glycosyl chloride 98.9% II (X = Cl, X1 = CO2Me, R = R2 = Ac), which was stirred with DBU in benzene for 2 h to give the acetylated title compd. I (R = R2 = Ac, R1 = Me). The latter acetate was stirred with NaOMe in MeOH at room temp. for 1.5 h, treated with 1 N aq. NaOH, stirred for 1 h, and treated with Dowex 50W-X8 to give the title compd. I (R = R2 = R1 = H).

IT 174771-94-9P

CN

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of dideoxyfluorodidehydrosialic acid via condensation of acetyldeoxyfluoroglucosamine with sodium pyruvate in presence of N-acetylneuraminic acid aldolase)

RN 174771-94-9 HCAPLUS

.alpha.-D-Glucopyranose, 2-amino-2,4-dideoxy-4-fluoro-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

) HCl

AN 1995:261277 HCAPLUS

DN 122:50762

TI Chromogenic compounds and methods of using same

IN Flowers, Daniel G.; Sternfeld, Marvin

PA Research Organics, Inc., USA

so U.S., 10 pp.

CODEN: USXXAM

DT Patent

LA English

באו כאות 1

FAN.	CNT I						
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
PI GI	US 5364767	A	19941115	US 93-16511	19930211 <		

AB The present invention relates to chromogenic compds. which are represented by the general formula I: wherein R1 is a sugar group, ester group, hydrocarbyl group, phosphate group, sulfate group or a salt thereof, with the proviso that R1 is other than .beta.-D-glucuronic acid or .beta.-D-galactopyranoside, R2 is H or hydrocarbyl group contg. 1 to about 5 carbon atoms, X is Cl or H, and Y is Cl or H. The present invention further relates to a method for quant. identifying and differentiating a first biol. material having enzyme specificity for a first chromogenic compd. as represented by formula I and a second biol. material having enzyme specificity for a second chromogenic compd. The compds. can be used to det. coliform bacteria.

IT 14196-86-2 14257-69-3

RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses) (chromogenic compds. and methods for their use)

RN 14196-86-2 HCAPLUS

CN .beta.-D-Galactopyranose, 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 14257-69-3 HCAPLUS

CN .beta.-D-Glucopyranose, 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L56 ANSWER 6 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1994:617522 HCAPLUS

DN 121:217522

TI Solid photographic color developing composition for silver halide color photographic light-sensitive material

IN Ueda, Yutaka

PA Konica Corp., Japan

SO Eur. Pat. Appl., 51 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 589624	A1	19940330	EP 93-307316	19930916 <
	R: DE, FR,	GB, NL			
	JP 06102627	A2	19940415	JP 92-253076	19920922 <
	US 5336588	A	19940809	US 93-119029	19930909 <
PRAI	JP 92-253076	19920	922 <	•	

AB A solid photog. color developing compn. is described comprising a photog. color developing agent and .gtoreq.1 of monosaccharides. Th material has improved storage stability.

IT 6490-70-6, .alpha.-D-Glucosamine 14196-84-0, .alpha.-D-Galactosamine 14307-02-9, D-Mannosamine

RL: USES (Uses)

(photog. developer preservative)

RN 6490-70-6 HCAPLUS

CN .alpha.-D-Glucopyranose, 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 14196-84-0 HCAPLUS

CN .alpha.-D-Galactopyranose, 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 14307-02-9 HCAPLUS

CN D-Mannose, 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L56 ANSWER 7 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1993:494705 HCAPLUS

DN 119:94705

TI Novel cyclohexane and tetrahydropyran derivatives and antifungal compositions containing these derivatives

IN Aoki, Yuhko; Kotaki, Hiromichi; Masubuchi, Kazunao; Okuda, Toru; Shimma, Nobuo; Tsukuda, Takuo; Umeda, Isao

PA Hoffmann-La Roche, F., und Co. A.-G., Switz.

SO Eur. Pat. Appl., 62 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

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PRAI	EP 91-112370	19910724	<				
	EP 91-113621	19910814	<				
	EP 92-110497	19920622	<				
	US 92-911853	19920710	<				
	JP 92-216602	19920723	<				
os	MARPAT 119:94705						
GI							

I

Title compds. I [X = O, CH2; R1 = Y-alkyl, Y-aralkyl, Y-aryl (Y = O, CONH, NHCO, (CH:CH)n and n = 0-3, C.tplbond.C, CH2O, CH2S); R2 = H, OH; R3 is a group capable of coordinating with heme; R4, R5 = H, alkyl, alkoxy, alkylthio; R4CR5 = 5- or 6-membered acetal ring; R6 = H, alkyl, alkoxy, alkylthio, (un)substituted amino; R7 = H, OH, alkyl, alkoxy, alkylthio; R6CR7 = 5- or 6-membered acetal ring; R2 with R4 may form a single bond] were prepd. as fungicides. E.g., a mixt. of (2S,3R,4S,5S)-4-methoxy-5-methyl-2-[(Z)-1-nonenyl]tetrahydro-2H-pyran-3-ol, N-(tert-butoxycarbonyl)glycine, 4-(dimethylamino)pyridine, and dicyclohexylcarbodiimide in CH2Cl2 was stirred at room temp. for 3 h, and the product treated with CF3CO2H to give (2S,3R,4S,5S)-4-methoxy-5-methyl-2-[(Z)-1-nonenyl]tetrahydro-2H-pyran-3-yl glycinate trifluoroacetic acid salt (I). I showed a MIC value of 1.56 .mu.g/mL against Cryptococcus neoformans.

IT 148888-86-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and reaction of, with glycine deriv.)

RN 148888-86-2 HCAPLUS

CN 2H-Pyran-3-amine, tetrahydro-4-methoxy-5-methyl-2-(1-nonenyl)-, [2S-[2.alpha.(E),3.beta.,4.alpha.,5.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

L56 ANSWER 8 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1993:97246 HCAPLUS

DN 118:97246

TI Biomodulators as universal imaging agents and for drug

دو. د د

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delivery
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IN Born, Jerry L.; Eshima, Dennis; Mann, Paul L.; Matwiyoff, Nicholas A.; Kroh, Frank O.

PA University of New Mexico, USA

SO PCT Int. Appl., 64 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PAT	TENT NO.	KIND	DATE		APPLICATION NO	. DATE
PI	WO	9219264	A1	19921112		WO 92-US3675	19920501 <
		W: CA, J	P				
		RW: AT, B	E, CH, DE	, DK, ES,	FR,	GB, GR, IT, LU,	MC, NL, SE
	US	5240693	A	19930831		US 91-694157	19910501 <
	US	5401489	A	19950328		US 91-694325	19910501 <
	US	5906807	A	19990525		US 95-405017	19950316 <
PRAI	US	91-694157	19910	501 <			
	US	91-694325	19910	501 <			

OS MARPAT 118:97246

AB Biomodulators, optionally linked to imaging-active moieties, can be administered to a host to enhance images thereof (e.g. NMR, x-ray, or radioimages), preferably by increasing aberrant tissue signal intensity. Biomodulators can also condition tissue to enhance uptake of otherwise nonspecific imaging agents. When linked to drugs, biomodulators can target the same to particular sites in the body. Biomodulators can also be administered together with an agent (e.g. a drug or specific or nonspecific imaging agent) structurally modified to take advantage of perturbations of cell oligosaccharide displays caused by biomodulators to enhance images of a host, preferably by increasing aberrant tissue signal intensity. Biomodulators condition tissue to enhance or otherwise modify uptake of the drug or structurally modified agent. NMR imaging was performed in rats with implanted canine glioma tumors and having, at 7 days post-implantation, administration of pokeweed mitogen (PWM). The PWM lowered the T1 relaxation time of the treated tissue image, thereby enhancing image contrast. PWM and Ukrain enhanced tumor: muscle ratios of radioisotope uptake at 1.5 h but not at 4 or 24 h in tumor imaging expts. with 99mTc-labeled tumor necrosis factor-.alpha.. In tumor-bearing rats administered a galactosamine-Gd-DTPA imaging agent and treated with PWM for 10 days prior to imaging, there was a biomodulator-dependent enhancement of interaction of the specific agent with the tumor

14196-84-0D, conjugates with DTPA or other compds., complexes with metals 14196-86-2D, conjugates with DTPA or other compds., complexes with metals 14307-02-9D, D-Mannosamine, conjugates with DTPA or other compds., complexes with metals RL: BIOL (Biological study)

(tissue imaging with, biomodulator enhancement of)

RN 14196-84-0 HCAPLUS

CN .alpha.-D-Galactopyranose, 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

RN 14196-86-2 HCAPLUS

CN .beta.-D-Galactopyranose, 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 14307-02-9 HCAPLUS

CN D-Mannose, 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L56 ANSWER 9 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1990:631931 HCAPLUS

DN 113:231931

TI Preparation of 2- and 4-deoxy sugar nitrosourea derivatives as antitumor agents

IN Roger, Pierre; Choay, Patrick; Monneret, Claude; Fournier, Jean Paul;
 Martin, Alain

PA SANOFI, Fr.

SO U.S., 47 pp. Cont.-in-part of U.S. Ser. No. 732,007, abandoned. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 4

ran.	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
PI	US 4902791	Α	19900220	US 88-181760	19880414 <		
	FR 2551068	A1	19850301	FR 83-13878	19830830 <		

...

Title sugars I [R = H, alkyl, (substituted) aralkyl; X = OH, NR1R2; Y = H, AΒ OH, NR3R4; R1 and/or R3 = H, CO(NO)CH2CH2R5; R5 = halo, esp. C1; R2 and/or R4 = H, alkyl, cycloalkyl, (substituted) aryl, aralkyl; R', R'' = H, OM; M = alkyl, acyl, (substituted) aryl, aralkyl, aroyl: either but not both of R' and R'' = H; at least X or Y = NR2CON(NO)CH2CH2R5] were prepd. and tested. For example, 3-azido-3-deoxy-D-glucopyranose was refluxed with HCl-MeOH and the product treated with (Bu3Sn)20 and then BzCl to give .alpha.- and .beta.-anomers of Me 3-azido-6-0-benzoyl-3-deoxy-D-glucopyranoside. Chlorination of the latter with SO2Cl2 in pyridine followed by redn. with AIBN and Bu3SnH, deprotection with NaOMe in MeOH, and acylation with ClCH2CH2NCO followed by N-nitrosation with NaNO2/AcOH, gave Me [(chloroethyl)nitrosoureido] dideoxyglucopyranoside II. At 20 mg/kg i.v. in mice transplanted with melanoma B16, II (3-injections in 30 days) reduced tumor wt. to 8.8% of controls, vs. only 33.0% for BCNU. IT 2484-76-6P 16697-56-6P 54623-23-3P 79403-97-7P 85439-77-6P 98383-17-6P 98383-22-3P 98383-26-7P 98383-31-4P 116724-60-8P 119630-32-9P 120878-57-1P 120878-58-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and reaction of, in prepn. of nitrosourea sugar antitumor agents)

RN 2484-76-6 HCAPLUS

CN

.alpha.-D-xylo-Hexopyranoside, methyl 3-amino-3,4,6-trideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 16697-56-6 HCAPLUS

CN .alpha.-D-arabino-Hexopyranoside, methyl 3-amino-2,3-dideoxy- (9CI) (CF INDEX NAME)

Absolute stereochemistry.

RN 54623-23-3 HCAPLUS

CN .alpha.-L-arabino-Hexopyranoside, methyl 3-amino-2,3,6-trideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 79403-97-7 HCAPLUS

CN .beta.-D-xylo-Hexopyranoside, methyl 3-amino-3,4,6-trideoxy- (9CI) (CA INDEX NAME)

RN 85439-77-6 HCAPLUS

CN .beta.-L-arabino-Hexopyranoside, methyl 3-amino-2,3,6-trideoxy- (9CI) (CF INDEX NAME)

Absolute stereochemistry.

RN 98383-17-6 HCAPLUS

CN .alpha.-D-arabino-Hexopyranoside, methyl 3-amino-2,3-dideoxy-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 98383-22-3 HCAPLUS

CN .alpha.-D-arabino-Hexopyranoside, methyl 3-amino-2,3,6-trideoxy-4-0-methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 98383-26-7 HCAPLUS

CN .alpha.-D-arabino-Hexopyranoside, methyl 3,6-diamino-2,3,6-trideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 98383-31-4 HCAPLUS

CN .alpha.-D-arabino-Hexopyranoside, methyl 6-amino-2,6-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 116724-60-8 HCAPLUS

CN .beta.-D-arabino-Hexopyranoside, methyl 3-amino-2,3-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 119630-32-9 HCAPLUS

CN .beta.-L-xylo-Hexopyranoside, methyl 3-amino-3,4,6-trideoxy- (9CI) (CA INDEX NAME)

RN 120878-57-1 HCAPLUS

CN .alpha.-D-xylo-Hexopyranoside, methyl 3-amino-3,4-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 120878-58-2 HCAPLUS

CN .beta.-D-xylo-Hexopyranoside, methyl 3-amino-3,4-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 51970-27-5 67693-33-8 116836-60-3

RL: RCT (Reactant)

(reaction of, in prepn. of nitrosourea sugar antitumor

agents)

RN 51970-27-5 HCAPLUS

CN .alpha.-L-xylo-Hexopyranoside, methyl 3-amino-3,4,6-trideoxy- (9CI) (CA INDEX NAME)

67693-33-8 HCAPLUS RN

.alpha.-D-arabino-Hexopyranoside, methyl 3-amino-2,3,6-trideoxy- (9CI) CN (CA INDEX NAME)

Absolute stereochemistry.

116836-60-3 HCAPLUS RN

.beta.-D-arabino-Hexopyranoside, methyl 3-amino-2,3,6-trideoxy- (9CI) (CA CN INDEX NAME)

Absolute stereochemistry.

L56 ANSWER 10 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1989:134877 HCAPLUS

DN 110:134877

Preparation of phenyl N-nitrosocarbamates as intermediates in the TI synthesis of antitumor nitrosourea-sugars

Roger, Pierre; Fournier, Jean Paul; Leroy, Rolande IN

PA SANOFI, Fr.

Eur. Pat. Appl., 19 pp. SO

CODEN: EPXXDW

DTPatent

French LА

FAN.	CNT 4			•
	PATENT NO.	KIND DATE	APPLICATION NO.	DATE
PI	EP 290313	A1 19881109	EP 88-400999	19880422 <
	EP 290313	B1 19920304		
	R: AT, BE,	CH, DE, ES, FR, GB,	GR, IT, LI, LU, NL	, SE
	FR 2614300	Al 19881028	FR 87-5708	19870422 <
	JP 63280054	A2 19881117	JP 88-100007	19880422 <
	US 4883903	A 19891128	US 88-184915	19880422 <
	AT 73129	E 19920315	AT 88-400999	19880422 <
	ES 2031252	т3 19921201	ES 88-400999	19880422 <
PRAI	FR 87-5708	19870422 <		
	EP 88-400999	19880422 <		

OS MARPAT 110:134877

GΙ

AB The title compds. I (n = 2-5; R = Cl, Br, F), useful as intermediates for antitumor nitrosoureas, were prepd. Reaction of 2,4,5-trichlorophenyl chloroformate with ClCH2CH2NH2.HCl in the presence of Et3N, followed by treatment with HO3SON:O in AcOH gave I (Rn = 2,4,5-trichloro).

IT 2484-76-6P 79403-97-7P 85439-77-6P 116724-60-8P 119630-32-9P

Ι

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and reaction of, in prepn. of antitumor agent)

RN 2484-76-6 HCAPLUS

CN .alpha.-D-xylo-Hexopyranoside, methyl 3-amino-3,4,6-trideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 79403-97-7 HCAPLUS

CN .beta.-D-xylo-Hexopyranoside, methyl 3-amino-3,4,6-trideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 85439-77-6 HCAPLUS

CN .beta.-L-arabino-Hexopyranoside, methyl 3-amino-2,3,6-trideoxy- (9CI) (CA INDEX NAME)

RN 116724-60-8 HCAPLUS

CN .beta.-D-arabino-Hexopyranoside, methyl 3-amino-2,3-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 119630-32-9 HCAPLUS

CN .beta.-L-xylo-Hexopyranoside, methyl 3-amino-3,4,6-trideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 51970-27-5 116836-60-3

RL: RCT (Reactant)

(reaction of, in prepn. of antitumor agent)

RN 51970-27-5 HCAPLUS

CN .alpha.-L-xylo-Hexopyranoside, methyl 3-amino-3,4,6-trideoxy- (9CI) (CF INDEX NAME)

RN 116836-60-3 HCAPLUS

CN .beta.-D-arabino-Hexopyranoside, methyl 3-amino-2,3,6-trideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L56 ANSWER 11 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1989:73773 HCAPLUS

DN 110:73773

TI Glycosylated polyethylene glycol derivatives for glycosylation of proteins

IN Minami, Isao; Ueno, Hayao; Fujino, Masahiko

PA Takeda Chemical Industries, Ltd., Japan

SO Eur. Pat. Appl., 16 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

		_														
	PAT	ENT I	NO.		KIN	1D	DATE			APPLICATION NO.			0.	DATE		
															-	
ΡI	ΕP	2513	04		A2	2	1988	0107		ΕP	87-	-109	425		19870630	<
	ΕP	2513	04		A3	3	1990	0110								
		R:	ΑT,	BE,	CH,	DE,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	ΝL,	SE	
	JP	6315	2393		A2	2	1988	0624		JF	87-	-1618	898		19870629	<
	CA	1303	030		A1	Ļ	1992	0609		CA	87-	-541	108		19870702	<
	US	5037	969		Α		1991	0806		US	90-	-532	179		19900604	<
PRAI	JP	86-1	56698	3	198	3607	03	<- -								
	US	87-6	8915		198	3707	02	<								
		_	_								•					

The glycosylated polyethylene glycol derivs.

RO(CH2CH2O)m(CH2)nZ (I; Z = CHO, CH2OH, CO2H; m = optional pos. integer; n = 1-3; R = glycosyl), which are useful as chem.-modifying agents for proteins and protein-fractioning agents, are prepd. Polyethylene glycol mono-tetrahydropyranyl ether was glycosylated with acetobromogalactose and deprotected to give 2,3,4,6-tetra-O-acetyl-beta.-D-galactopyranosylpolyethylene glycol, which was oxidized using oxalyl chloride-Me2SO-Et3N, and deprotected by alk. hydrolysis to give .beta.-D-galactopyranosylpolyethylene glycol aldehyde (II).

2

II reacted with recombinant interferon-.alpha. (IFN-.alpha.) in the presence of Na cyanoborohydride to give <code>glycosylated</code> IFN-.alpha. (III), in which 6.9 of the 11 Lys residues had been modified; the activity was 0.83 .times. 106 IU/mg. III was selectively adsorbed on a WGA-agarose column, while unmodified IFN-.alpha. and polyethylene <code>glycol</code>-modified IFN-.alpha. passed through the column; the degree of adsorption increased with increasing modification.

IT 90-76-6DP, polyethylene glycol-bound 90-77-7DP

, polyethylene glycol-bound

RL: PREP (Preparation)

(prepn. of, for protein glycosylation)

RN 90-76-6 HCAPLUS

CN D-Galactopyranose, 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 90-77-7 HCAPLUS

CN D-Glucopyranose, 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L56 ANSWER 12 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1987:50470 HCAPLUS

DN 106:50470

TI Platinum complexes

IN Bitha, Panayota; Child, Ralph Grassing; Hlavka, Joseph John; Lin, Yang I

PA American Cyanamid Co., USA

SO Eur. Pat. Appl., 51 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN CNT 2

PATENT NO.					KIND DATE					A	PPLI	CATION NO.	DATE		
PI		1860			A:	_	1986			E:	P 85	-116010	19851216	<	
	EΡ	1860 R:		BE.	CH,	-	1988 FR,		IT,	LI,	NL,	SE			

US 4587331 19860506 US 84-682883 19841217 <--Α US 4703115 19871027 US 84-682884 19841217 <--Α PRAI US 84-682883 19841217 <---US 84-682884 19841217 <--GΙ

The title compds. I and II (R1 = H, C1-3 alkyl, hydroxymethyl, aminomethyl; R2-4 = OH, NH2:R5 = amino, imino, or acyl-substituted monovalent hydrocarbyl; R6 = Me, HOCH2, H2NCH2; A = coordinated Pt), useful as anticancer agents, are prepd. Thus, 1.0 g D-glucosamine.HCl in H2O was treated with 1.92 g K2PtCl4 to give 1 g I (R1 = HOCH2, R2 = R4 = OH, R3 = NH2, A = PtCl2). The title compds. show comparable anticancer effectiveness to Cisplatin, although at higher dosages.

TT 7695-34-3, 2,3-Diamino-2,3-dideoxy-.alpha.-D-glucose dihydrochloride 84056-78-0, 2,6-Diamino-2,6-dideoxy-D-glucose dihydrochloride 103172-84-5, 2-Amino-2-deoxy-D-glucopyranosylamine

RL: RCT (Reactant)

(reaction of, with potassium tetrachloroplatinate, platinum complex from)

RN 7695-34-3 HCAPLUS

CN .alpha.-D-Glucopyranose, 2,3-diamino-2,3-dideoxy-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●2 HCl

RN 84056-78-0 HCAPLUS
CN .alpha.-D-Glucopyranose, 2,6-diamino-2,6-dideoxy-, dihydrochloride (9CI)
(CA INDEX NAME)

●2 HCl

103172-84-5 HCAPLUS RN

D-Glucopyranosylamine, 2-amino-2-deoxy- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

L56 ANSWER 13 OF 29 HCAPLUS COPYRIGHT 1999 ACS

1986:443266 HCAPLUS AN

DN 105:43266

Platinum complexes of polyhydroxylated alkylamines and 2-polyhydroxylated ΤI alkyl-1,2-diaminoethanes

Hlavka, Joseph J.; Child, Ralph G.; Bitha, Panayota; Lin, Yang I. IN

American Cyanamid Co., USA PA

U.S., 12 pp. SO

CODEN: USXXAM

DTPatent

LA English

FAN.CNT 2

r An	. CNT	2											
	PATENT NO.				KIN	4D	DATE			API	PLICATION NO.	DATE	
ΡI	US	4587	331		Α		1986	0506		US	84-682883	198412	17 <
	ZA	8509	582		Α		1986	0827		ZA	85-9582	198512	13 <
	DK	8505	821		Α		1986	0618		DK	85-5821	198512	16 <
	FI	8504	971		A		1986	0618		FI	85-4971	198512	16 <
	NO	8505	043		Α		1986	0618		NO	85-5043	198512	16 <
	EΡ	1860	85		A	2	1986	0702		EΡ	85-116010	198512	16 <
	EΡ	1860	85		A.	3	1988	0420					
		R:	ΑT,	BE,	CH,	DE	, FR,	GB,	IT,	LI, ì	NL, SE		
	ΑU	8551	248		A:	L	1986	0717		AU	85-51248	198512	16 <
	ΑU	5754	54		B	2	1988	0728					
	JP	6117	1495		A2	2	1986	0802		JP	85-281236	198512	16 <
	ES	5499	86		A.	1	1986	1201		ES	85-549986	198512	16 <
	нп	4308	1		Δ2	2	1987	0928		нп	85-4825	198512	17 <

PRAI US 84-682883 19841217 <-US 84-682884 19841217 <--

AB Complexes I (R1 = H, alkyl, CH2OH, CH2NH2; R2, R3, and R4 are OH, NH2, and at least one of R2, R3, and R4 is OH; n = 0, 2; L1 and L2 are halide, NO3, sulfate, or L1L2 = oxalato, malonato, etc.) were prepd., and they exhibited anti-tumor activity. D-Glucosamine hydrochloride was treated with NaOMe and K tetrachloroplatinate to give 2-amino-2-deoxy-.beta.-D-glucopyranose 1:1 compd. with Pt chloride.

Ι

RN 7687-95-8 HCAPLUS

CN .alpha.-D-Glucopyranose, 2,3-diamino-2,3-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 14257-69-3 HCAPLUS

CN .beta.-D-Glucopyranose, 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

RN 59433-00-0 HCAPLUS

CN .alpha.-D-Glucopyranose, 2,6-diamino-2,6-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 103172-84-5 HCAPLUS

CN D-Glucopyranosylamine, 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L56 ANSWER 14 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1986:207616 HCAPLUS

DN 104:207616

TI 2,3-Diamino-2,3-dideoxyhexose derivatives and their use

IN Macher, Ingolf; Unger, Frank Michael

PA Sandoz A.-G., Switz.

SO PCT Int. Appl., 37 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PA:	TENT NO.		KIND	DATE	•	API	PLICATION NO.	DATE	
PI	WO	8504881			198511		WO	85-EP171	19850417	<
		•	•	•	IU, JP, K E, FR, G	•	LU, ì	NL, SE		
	DE	3415102	•	A1	•		•	84-3415102	19840421	<
	DE	3415100		A1	198512	05	DE	84-3415100	19840421	<
	AU	8542380		A1	198511	15	AU	85-42380	19850417	<
	AU	580061		B2	198812	22				
	JP	61501919		Т2	198609	04	JP	85-501994	19850417	<
	HU	42099		A2	198706	29	HU	85-2162	19850417	<
	HU	197584		В	198904	28				
	AT	54920		E	199008	15	TA	85-902023	19850417	<
	ZA	8502968		Α	198611	26	ZA	85-2968	19850419	<

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US 85-815097
                                                                19851218 <--
     US 4698331
                              19871006
                        Α
                                                                19851220 <--
                              19851220
                                              DK 85-6005
     DK 8506005
                        A
                                              FI 85-5132
                                                                19851220 <--
     FI 8505132
                        Α
                              19851220
     FI 81807
                        В
                              19900831
     FI 81807
                        С
                              19901210
PRAI DE 84-3415100
                       19840421
                                  <--
     DE 84-3415102
                       19840421
                                  <--
     EP 85-902023
                       19850417
                                  <--
     WO 85-EP171
                       19850417
                                  <--
GΙ
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The title compds. [I; R1 = H, alkyl, aralkyl, P ester group; R2, R3 = (un)substituted acyl; R4 = H, P ester group; R5 = H, glycosyl) were prepd. Thus, 2,3-diamino-2,3-dideoxy-D-glucose (II, R2-R5 = H) was N-acylated with (3R)-(benzyloxy)tetradecanoyl chloride to give II [R2 = R3 = (3R)-(benzyloxy)tetradecanoyl, R4 = R5 = H]. This was treated with CH2:CMeOMe in DMF in the presence of 4-MeC6H4SO3H to give II (R2, R3 as given, R4R5 = Me2C) which was esterified with (PhCH2O)2 P(O)Cl to give the .alpha.-D-glucopyranosyl phosphate III [R2 = R3 = (3R)-(benzyloxy)tetradecanoyl, R4R5 = Me2CH, R6 = PhCH2] which was hydrogenated over Pd/C and subjected to acid hydrolysis to give III [R2 = R3 = (3R)-(hydroxytetradecanoyl, R4-R6 = H]. I are immunostimulants demonstrating lymphocyte and/or macrophage proliferation effects in std. tests both in vivo and in vitro. I are addnl. suitable for prophylaxis of endotoxin shock.

IT 101648-98-0

RN 101648-98-0 HCAPLUS

CN .beta.-D-Glucopyranoside, methyl 2,3-diamino-2,3-dideoxy- (9CI) (CA INDEX NAME)

L56 ANSWER 15 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1985:427304 HCAPLUS

DN 103:27304

TI Compositions for use in preventing and treating obesity

IN Hinohara, Yoshikazu; Kaifu, Rokuro; Matsunaga, Isao

PA Chugai Pharmaceutical Co., Ltd., Japan

SO Eur. Pat. Appl., 14 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

LTT1.	71 V T	_												
	PAT	rent 1	NO.		KIN	ID	DATE			API	PLICATIO	ON NO.	DATE	
						-								
ΡI	ΕP	1375	14		A2	?	1985	0417		ΕP	84-1123	314	19841012	<
	ΕP	1375	14		A3	}	1985	0612						
	ΕP	1375	14		В1		1988	0921						
		R:	BE,	CH,	DE,	FR,	GB,	IT,	LI,	NL, S	SE			
	JP	6008	1127		A2	?	1985	0509		JP	83-1920	015	19831013	<
	JΡ	0305	4644		В4	l	1991	0820						
	US	4696	919		Α		1987	0929		US	84-660	421	19841010	<
PRAI	JΡ	83-1	9201	5	198	310	13	<						
GT .														

AB I (R1, R2, R3, and R4 = H or Ac) or their acid addn. salts are useful for decreasing appetite and treatment of obesity. The compds. may be administered orally or parenterally. Thus, granules contained a mixt. of 1-deoxyglucosamine [32449-61-9] 50, lactose 9500, hydroxypropyl cellulose 400 and starch 50 g.

IT 32449-61-9 97101-24-1

RL: BIOL (Biological study)

(pharmaceuticals, for obesity control)

RN 32449-61-9 HCAPLUS

CN D-Glucitol, 2-amino-1,5-anhydro-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 97101-24-1 HCAPLUS

D-Glucitol, 2-amino-1,5-anhydro-2-deoxy-, hydrochloride (9CI) (CA INDEX CN NAME)

Absolute stereochemistry. Rotation (+).

HCl

L56 ANSWER 16 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN1985:167122 HCAPLUS

DN 102:167122

2,6-Dideoxy-3-amino-4-carboxy methyl glycoside and related ΤI compounds

IN Durette, Philippe L.

PA Merck and Co., Inc. , USA

U.S., 6 pp. Cont. of U.S. Ser. No. 248,174, abandoned. SO CODEN: USXXAM

DT Patent

LA English

FAN.	CNT 1				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4491659	Α	19850101	US 83-498447	19830526 <
PRAI GI	US 81-248174	19810	330 <		

Aminocarboxytetradeoxyhexonolactone (I), useful as intermediate in the AB

total synthesis of thienamycin, was prepd. from Me azidocyanotetradeoxyhexopyranoside (II) by sequential methanolysis, catalytic hydrogenation, acid hydrolysis, and oxidn. with Br.

IT 95976-90-2P

RN 95976-90-2 HCAPLUS

CN 2H-Pyran-3-carboxylic acid, 4-aminotetrahydro-6-methoxy-2-methyl-, methyl ester, [2R-(2.alpha.,3.beta.,4.alpha.,6.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L56 ANSWER 17 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1984:511345 HCAPLUS

DN 101:111345

TI Anthracycline glycosides

IN Broadhurst, Michael John; Hassall, Cedric Herbert; Thomas, Gareth John

PA Hoffmann-La Roche, F., und Co. A.-G., Switz.

SO Eur. Pat. Appl., 109 pp.

CODEN: EPXXDW

DT Patent

LA German

F/	A German AN.CNT 1				
	PATENT NO.	KIND DATE	APPLICATION NO.	DATE	
₽.	I EP 104654	A2 198404	04 EP 83-109677	19830928 <	
	EP 104654	A3 198408			
	EP 104654	B1 198710	21		
			B, IT, LI, LU, NL, SE		
	CA 1248944		17 CA 83-436376		
			29 DK 83-4240		
	ZA 8307030		30 ZA 83-7030	19830921 <	
	IL 69778	A1 198702	27 IL 83-69778	19830921 <	
	IL 77696	A1 198702	27 IL 83-77696	19830921 <	
	AU 8319543	Al 198404	05 AU 83-19543	19830926 <	
	AU 560419	B2 198704	09		
	HU 35271		28 HU 83-3320	19830926 <	
	HU 195517	B 198805	30		
	US 4526960	A 198507	02 US 83-535968	19830926 <	
	FI 8303480		29 FI 83-3480	19830927 <	
	FI 74022	B 198708	31		
	FI 74022	C 198712	10		
	NO 8303491	A 198403	29 NO 83-3491	19830927 <	
	NO 157934	B 198803	07		
	NO 157934	C 198806	15		
	JP 59080692	A2 198405	10 JP 83-179110	19830927 <	
	ES 525989	A1 198503	01 ES 83-525989	19830927 <	
	AT 30325	E 198711	15 AT 83-109677	19830928 <	

.

19850901 ES 84-532514 19840516 <--ES 532514 Α1 PRAI GB 82-27686 19820928 <--GB 83-19251 19830715 <--IL 83-69778 19830921 <--19830928 EP 83-109677 <--GI

Ι

Anthracycline glycosides I [X = CH2, CHMe, CH2CH2; R = H, alkyl, aryl, aralkyl, heterocyclyl, (CH2)nCOR3; R1, R2 = H, OH, alkoxy, OCH2Ph; R3 = OH, (un)substituted NH2, alkoxy; n = 1-4] and some alkylenebis(carbamates) were prepd. Thus, I (X = CH2, R = Ph, R1 = OH, R2 = H) was prepd. by treating naphthacene II (R4 = CONHPh) with the protected lyxo-hexopyranosyl chloride and deblocking. II (R4 = CONHPh) was prepd. from II (R4 = Ac) by deacetylation, reaction with PhB(OH)2, followed by PhNCO, and hydrolysis of the boronate. At 0.5 .mu.g/kg i.p. in mice infected with lymphocytic leukemia I (X = CH2, R = Ph, R1 = OH, R2 = H) doubled the survival time.

IT 91577-03-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and trifluoroacetylation of)

RN 91577-03-6 HCAPLUS

CN .beta.-L-lyxo-Hexopyranoside, methyl 3-amino-2,3,6-trideoxy-4-O-ethyl-(9CI) (CA INDEX NAME)

L56 ANSWER 18 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1983:107686 HCAPLUS

DN 98:107686

TI Nitrosourea derivative and therapeutic composition containing this derivative

IN Morikawa, Tamio; Tsujihara, Kenji; Takeda, Mikio; Arai, Yoshihisa

PA Tanabe Seiyaku Co., Ltd., Japan

SO Eur. Pat. Appl., 21 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.			KI	4D	DATE			API	PLICATION NO.	DATE				
PI	ΕP	62329			A]	L	1982	1013		ΕP	82-102831	1982040	02 <		
	EΡ	62329			В1	L	1985	0220							
		R: 1	ΒE,	CH,	DE,	FR,	GB,	IT,	NL						
	JP	57165	398		A	2 .	1982	1012		JP	81-50393	1981040)2 <		
	JΡ	63010	958		В4	1	1988	0310							
	US	44725	73		Α		1984	0918		US	82-358818	1982031	16 <		
	ES	51107	7		A1	L	1983	0501		ES	82-511077	1982040)1 <		
	ΑT	82012	87		A		1986	0215		AT	82-1287	1982040)1 <		
	ΑT	38131	8		В		1986	0925							
PRAI	JР	81-50	393		198	3104	102	<							
GI															

R2NCONRCH2CH2Cl

AB Nitrosoureas (I; R = NO; R1 = alkyl; R2 = alkyl, alkoxyalkyl) were prepd. by nitrosation of I (R = H). Thus, Me 2-amino-2-deoxy-.alpha.-D-glucopyranoside was sequentially treated with PrCHO, NaBH4, and C1CH2CH2NCO to give .alpha.-I (R = H, R1 = Me, R2 = Bu), which was nitrosated to .alpha.-I (R = NO), which at 6.25/mg/kg/day (i.p.) in mice showed 100% inhibition of Ehrlich ascites carcinoma vs. 33.3% inhibition by 1-(2-chloroethyl)-1-nitroso-3-cyclohexylurea.

IT 4704-14-7

RL: RCT (Reactant)

(reaction of, with butyraldehyde)

RN 4704-14-7 HCAPLUS

.alpha.-D-Glucopyranoside, methyl 2-amino-2-deoxy- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

L56 ANSWER 19 OF 29 HCAPLUS COPYRIGHT 1999 ACS

1983:54398 HCAPLUS AN

98:54398 DN

Nitrosourea derivatives TI

IN Suami, Tetsuo

PA Japan

Fr. Demande, 66 pp. SO

CODEN: FRXXBL

DT Patent

LΑ French

FAN.	CNT 1						
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
PI	FR 2493318	A1	19820507	FR 81-20407	19811030 <		
	FR 2493318	B1	19860516				
	JP 57075993	A2	19820512	JP 80-151500	19801030 <		
	US 4472379	A	19840918	US 81-313597	19811021 <		
	GB 2087876	Α	19820603	GB 81-32625	19811029 <		
	GB 2087876	B2	19840627				
PRAI	JP 80-151500	19801	.030 <				
CT							

$$R^3$$
 R^2
 R^1
 R^4
 CH_2OH
 OH
 OMe
 $NHCOCH_2NHCON (NO) CH_2CH_2Cl IIII$

Nitrosoureas I [R = (NHCOX)nNHCON(NO)CH2CH2Cl, R1-R4 = OH; R = OH, alkoxy, AB 1 of R1-R4 = (NHCOX) nNHCON(NO) CH2CH2C1, the rest are OH; X = amino acid residue, C1-3 alkylene; n = 1-3] were prepd. Thus, ClCH2CH2NH2 was treated with 4-02NC6H4O2CCl to give 4-02NC6H4O2CNHCH2CH2Cl which was N-nitrosated to give 4-02NC6H4O2CN(NO)CH2CH2Cl (II). Me .alpha.-Dglucosaminide was treated with N-benzyloxycarbanylglycine N-hydroxysuccinimide ester, deblocked, and treated with II to give III. At 32 mg/kg/day for 3 days i.p. in Leukemia L1210-infected mice III increased the survival time by >457%.

ΙT 4704-14-7P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and reaction of, with amino acid reactive esters)

RN 4704-14-7 HCAPLUS

CN .alpha.-D-Glucopyranoside, methyl 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L56 ANSWER 20 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1982:52633 HCAPLUS

DN 96:52633

TI N-Benzoyl-L-ristosamine and intermediates

IN Whistler, Roy Lester

PA Purdue Research Foundation, USA

SO Belg., 24 pp.

CODEN: BEXXAL

DT Patent

LA French

FAN.CNT 1

	PATEN	PATENT NO.		DATE		APF	PLICATION NO.	DATE	
P.	BE 88	37820	A1	1981	0701	BE	81-204028	19810306	<
	US 42	298726	A	1981	1103	US	80-128298	19800307	<
	FR 24	177553	A1	1981	0911	FR	81-3405	19810220	<
	FR 24	177553	B1	1983	0506				
	GB 20	72169	A	1981	.0930	GB	81-7110	19810306	<
	GB 20	72169	B2	1984	0229				
	NL 81	L01094	A	1981	1001	NL	81-1094	19810306	<
	JP 56	5139475	A2	1981	1030	JP	81-31377	19810306	<
	DE 31	L08540	A1	1982	0318	DE	81-3108540	19810306	<
PF	RAI US 80	0-128298	198003	307	<				
G]	[

Oxohexenitol I, obtained by the oxidn. of L-rhamnal or 6-deoxy-L-allal, on sequential acetylation, methoxymercuration, and oximation gave II (R = HgCl, R1 = H), which on demercuration followed by acetylation gave II (R = H, R1 = Ac). The latter on redn. with LiAlH4 gave Me L-ristosaminide (III). III on N-benzoylation followed by acid hydrolysis gave N-benzoyl-L-ristosamine.

IT 80483-25-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(prepn. and benzoylation of)

RN 80483-25-6 HCAPLUS

CN L-ribo-Hexopyranoside, methyl 3-amino-2,3,6-trideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L56 ANSWER 21 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1982:52632 HCAPLUS

DN 96:52632

TI Daunosamine hydrochloride and intermediate products used in its synthesis

IN Whistler, Roy Lester

PA Purdue Research Foundation, USA

SO Belg., 28 pp.

CODEN: BEXXAL

DT Patent

LA French

FAN.CNT 1

PAN.CNT I				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI BE 887819	A1	19810701	BE 81-204027	19810306 <
us 4301276	A	19811117	US 80-128299	19800307 <
FR 2477552	A1	19810911	FR 81-3404	19810220 <
FR 2477552	В1	19830527		
GB 2071658	A	19810923	GB 81-7109	19810306 <
GB 2071658	B2	19840229		
NL 8101095	A	19811001	NL 81-1095	19810306 <
JP 561394 7 6	A2	19811030	JP 81-31376	19810306 <
DE 3108539	A1	19811224	DE 81-3108539	19810306 <
PRAI US 80-128299	19800	307 <		
GI				

Ι

III

II NH2

AB Oxidn. of L-fucal or 6-deoxy-L-idal gave oxohexenitol I, which on sequential acetylation, methoxymercuration, and oximation gave II (R = HgCl, Rl = H). The latter on demercuration followed by acetylation gave II (R = H, Rl = Ac), which on redn. with LiAlH4 gave Me L-daunosaminide (III). III on heating with HCl gave L-daunosamine hydrochloride.

IT 80483-20-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, from fucal or deoxyidal)

RN 80483-20-1 HCAPLUS

CN L-lyxo-Hexopyranoside, methyl 3-amino-2,3,6-trideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L56 ANSWER 22 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1981:514886 HCAPLUS

DN 95:114886

TI 3-Haloethyl- or propyl-2,2-dimethylcyclopropane carboxylic acid esters as intermediates for synthetic pyrethroids

IN Crosby, John; Holland, David; Laidler, Dale Andrew; Milner, David John

PA Imperial Chemical Industries Ltd., Engl.

SO Eur. Pat. Appl., 68 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

PAN.	NI.	T							
	PA?	TENT NO.		KIND	DATE	AP	PLICATION NO.	DATE	
ΡI	ΕP	22608		A1	19810121	EP	80-301527	19800509	<
	ΕP	22608		B1	19830706				
		R: CH,	DE,	FR, GB	, IT, NL				
	ΑU	8058636		A1	19810115	AU	80-58636	19800521	<- -
	ΑU	538321		B2	19840809				
	US	4288387		A	19810908	US	80-156077	19800602	<
	JΡ	56015244		A2	19810214	JP	80-94060	19800711	<
	JP	63054699		В4	19881028				
PRAI	GB	79-24521		19790	713 <	•			
GI									

$$\begin{array}{c|c} \text{Me Me} \\ \\ \text{RCR}^1\text{R}^2\text{CH}_2 \end{array} \quad \text{CO}_2\text{R}^3 \quad \text{I}$$

The cycloaddn. reaction of RCR1R2CH2CH:CME2 [R, R1 = F, Cl, Br, alkyl, polyhaloalkyl; R2 = F, Cl, Br] with N2CHCO2R3 [R3 = alkyl, 3-PhOC6H4CH2, 3-PhOC6H4CH(CN), 3-PhOC6H4CH(C.tplbond.CH)] catalyzed by Cu, Cu(II) salts, carboxylic and Rh(II) salts, and chiral Schiff base Cu and transition

metal complexes gave the resp. cyclopropanecarboxylates I. Thus, CF3CCl2CH2CH: CMe2 was treated with N2CHCO2Et and (Me3CCO2)2Rh at 20.degree. to give I (R = CF3, R1 = R2 = C1, R3 = Et). Most of the chiral Schiff base complexes were prepd. from aminodeoxymonosaccharides

IT 3867-92-3 4704-14-7

RL: RCT (Reactant)

(condensation reaction of, with salicylaldehyde, hydroxynaphthaldehyde and pyridinecarboxaldehyde)

RN 3867-92-3 HCAPLUS

CN .beta.-D-Glucopyranoside, methyl 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 4704-14-7 HCAPLUS

CN .alpha.-D-Glucopyranoside, methyl 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L56 ANSWER 23 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1981:498227 HCAPLUS

DN 95:98227

TI Heterocycles that contain oxygen and their use in the preparation of antibiotics

IN Uskokovic, Milan Radoje; Wovkulich, Peter Michael

PA Hoffmann-La Roche, F., und Co. A.-G., Switz.

SO Eur. Pat. Appl., 23 pp.

CODEN: EPXXDW

DT Patent

LA German

FAN.CNT 1

PAN.	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	EP 23663	A2	19810211	EP 80-104299	19800722 <
	EP 23663	A3	19810422		
	EP 23663	В1	19840516		
	R: AT, BE,	CH, DE	, FR, GB, IT,	NL	
	US 4252964	A	19810224	US 79-60261	19790725 <

	ΑT	7500	E	19840615	AT	80-104299	19800722	<
	JP	56020584	A2	19810226	JP	80-99989	19800723	<
	JP	01040839	В4	19890831				
	US	4324726	A	19820413	US	80-179126	19800818	<
	US	4376207	A	19830308	US	81-326731	19811202	<
	US	4414402	A	19831108	US	82-423924	19820927	<
	US	4415742	A	19831115	US	82-423927	19820927	<
PRAI	US	79-60261	197907	725 <				
	EΡ	80-104299	198007	722 <				
	US	80-179126	198008	318 <				
	US	81-326731	198112	202 <				
GI								

The amino sugars I (R = alkyl; R1 = H, alkyl, aralkyl) were prepd. Thus, trans-MeCH:CHOAc was treated with Me3COCH(NMe2)2 to give Me2NCH:CHCO2CH:CHMe-trans which was treated with (S)-(-)-PhCHMeNHOH to give the isoxazolone II. Redn. of II gave III (R2 = H) which was treated with ClCO2Me to give III (R2 = CO2Me). (Me2CHCH2)2AlH2Na redn. of III (R2 = CO2Me) gave I (R = Me, R1 = CHMePh) together with some furanol. I (R = Me, R1 = CHMePh) was deblocked with Na-NH3 to give I (R = Me, R1 = H) which was decarboxylated to give Me L-acosaminide, or was isomerized in 3-position and then decarboxylated to give Me L-daunosaminide.

IT 18977-92-9P 54623-23-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 18977-92-9 HCAPLUS

CN .alpha.-L-lyxo-Hexopyranoside, methyl 3-amino-2,3,6-trideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

.

RN 54623-23-3 HCAPLUS

CN .alpha.-L-arabino-Hexopyranoside, methyl 3-amino-2,3,6-trideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L56 ANSWER 24 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1981:497173 HCAPLUS

DN 95:97173

TI Chiral amino monosaccharide complexes

PA Imperial Chemical Industries Ltd., Engl.

SO Jpn. Kokai Tokkyo Koho, 16 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

PAN.	CNT			
	PATENT NO.	KIND DATE	APPLICATION NO.	DATE
PI	JP 56016498	A2 19810217	JP 80-96149	19800714 <
	EP 24797	A1 19810311	EP 80-302281	19800704 <
	R: CH, DE,	FR, GB, IT, NL		
	AU 8060184	A1 19810115	AU 80-60184	19800708 <
	US 4350811	A 19820921	US 80-166838	19800708 <
PRAI	GB 79-24518	19790713 <		
GT				

Chiral Schiff bases I (R = H, R1 = H or RR1 = benzylidene, R2 = alkoxy, R3 = aryl) and their complexes with bis(salicylaldehydato)Cu(II)(II) or Cu(OAc)2 were prepd. Thus, a mixt. of 0.7 g Me 4,6-O-benzylidene-2-amino-2--deoxy-.alpha.-D-altropyranoside, 0.3 g salicylaldehyde, and 50 mL toluene was refluxed 2 h to give the corresponding Schiff base (no yield given), which (0.435 g) was treated with 0.153 g II in MeOH for 3 h to give a complex which was used for the cyclopropanation of Cl2C:CHCH:CMe2 with N2CHCO2Et to give 43% a stereoisomeric mixt. of the insecticidal chrysanthemates III contg. (1R)-cis- 25, (1S)-cis- 18, (1R)-trans- 32, and (1S)-trans-III 25%.

IT 3867-92-3

RL: RCT (Reactant)

(reaction of, with aldehydes)

RN 3867-92-3 HCAPLUS

CN .beta.-D-Glucopyranoside, methyl 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L56 ANSWER 25 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1981:175446 HCAPLUS

DN 94:175446

TI Bis(4-demethoxydaunorubicin)dihydrazone derivatives and their pharmacologically useful salts

IN Apple, Martin Allen; Pappo, Raphael

PA USA

SO Ger. Offen., 43 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

PATENT NO.		KIND	DATE	APPLICATION NO.	DATE	
PI	DE 3016974	A1	19801113	DE 80-3016974	19800502 <	
,	US 4275192	Α	19810623	us 79-35657	19790503 <	

FR	2455595	A1	19801128	FR	80-9856	19800430	<
FR	2455595	В1	19830812				
DK	8001942	A	19801104	DK	80-1942	19800501	<
SE	8003317	A	19801104	SE	80-3317	19800502	<
NL	8002577	А	19801105	NL	80-2577	19800502	<
AU	8058039	A1	19801106	Æ	80-58039	19800502	<
AU	532925	B2	19831020				
GB	2050364	A	19810107	GB	80-14862	19800502	<
GB	2050364	B2	19830427				
ES	491116	A1	19810416	ES	80-491116	19800502	<
CA	1137471	A1	19821214	CA	80-351196	19800502	<
BE	883106	A1	19801105	BE	80-462	19800505	<
CH	643862	A	19840629	CH	80-3469	19800505	<
JP	56008398	A2	19810128	JP	80-59852	19800506	<
ES	497801	A1	19811116	ES	80-497801	19801216	<
PRAI US	79-35657	197905	03 <				

AB Title hydrazones I (R, R1 = H, alkyl; X = optionally substituted alkylene) were prepd. Thus H2NCH(CH2CO2Me)2 was converted to its HCl salt and treated with N2H4 to give H2NCH(CH2CONHNH2)2.HCl which was treated with 4-demethoxydaunorubicin-HCl to give I.3HCl [R = R1 = H, X = CH2CH(NH2)CH2, (II)]. At 2.1 mg/kg i.p. II increased the survival time of leukemia P-388-infected mice to 175%.

IT 77398-21-1P

GΙ

RN 77398-21-1 HCAPLUS

CN .beta.-L-lyxo-Hexopyranoside, methyl 3-amino-2,3,6-trideoxy-, acetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 77398-20-0 CMF C7 H15 N O3 CDES 5:B-L-LYXO

Absolute stereochemistry. Rotation (+).

CM 2

CRN 64-19-7 CMF C2 H4 O2

L56 ANSWER 26 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1979:136232 HCAPLUS

DN 90:136232

TI Antibiotic P-2563 using Pseudomonas fluorescens

IN Nara, Kiyoshi; Sumino, Yasuhiro; Asai, Mitsuko; Akiyama, Shunichi

PA Takeda Chemical Industries, Ltd., Japan

so U.S., 21 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 3

E F	PATENT NO.	KIND DATE		APPLICATION NO.	DATE
P]	I US 4108724	A	19780822	US 76-674310	19760407 <
	GB 1549167	A	19790725	GB 75-15062	19760412 <

PRAI GB 75-15062 19750411 <--Antibiotic P-2563 [62046-53-1] is produced by fermn. with Pseudomonas fluorescens P-2563 (ATCC 31125) on a medium contg. assimilable N sources. Thus, a seed culture of P. fluorescens was prepd. by inoculation of a nutrient medium contg. glucose 2, yeast ext. 0.5, corn steep liquor 0.5, peptone 0.5, and CaCO3 0.5% with a stock culture and incubating at 28.degree. for 48 h. The seed culture thus obtained was inoculated into a fermn. medium contg. glucose 4, yeast ext. 0.5, corn steep liquor 0.5, soybean flour 0.5, cottonseed flour 0.5, NaCl 0.5, MgSO4 0.1, and CaCO3 0.5% with pH 6.8 and cultivation was carried out with sparging and agitation at 28.degree. for 72 h. The resulting broth was filtered and the filtrate chromatographed on Amberlite IRC-50 (NH4+-form). The eluate obtained by elution with N aq. NH3 was concd. and the conc. chromatographed on Amberlite CG-50 (NH4+-form). Fractionation of the eluate obtained by elution with 0.8 N aq. NH3 gave P-2563 (I) [60534-70-5], P-2563 (II) [60502-99-0], and P-2563 (III) [60502-98-9] which were further purified and characterized. The resp. m.p. and mol. formulas were: 105-15.degree. (decompn.) and C15H31N3O9, 148-52.degree. and C14H29N3O9, and 110-17.degree. and C12H27N3O8. Antibiotics P-2563 (I) and P-2563 (II) were active against both gram-pos. and gram-neg. bacteria.

IT 4097-95-4P

RL: PREP (Preparation); PRP (Properties)

(prepn. and properties of)

RN 4097-95-4 HCAPLUS

.alpha.-D-Glucopyranoside, methyl 4-amino-4-deoxy- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

L56 ANSWER 27 OF 29 HCAPLUS COPYRIGHT 1999 ACS

1976:463310 HCAPLUS ΑN

DN 85:63310

TI Antitumor glycosides

Arcamone, Federico; Bargiotti, Alberto; Cassinelli, Guiseppe; Di Marco, IN

PΑ Societa Farmaceutici Italia S.p.A., Italy

Ger. Offen., 20 pp. so

CODEN: GWXXBX

DTPatent

LA German

FAN.	CNT 1				
	PATENT NO.	KIND	DATE		DATE
ΡI	DE 2548087	A1	19760506	DE 75-2548087	19751028 <
	US 4025623	A	19770524	US 75-621582	19751010 <
	NL 7512489	A	19760504	NL 75-12489	19751024 <
	AT 7508129	A	19770415	AT 75-8129	19751024 <
	AT 340591	В	19771227		
	SE 7512005	A	19760430	SE 75-12005	19751027 <
	SE 423996	В	19820621		
	SE 423996	С	19820930		
	DK 7504821	A	19760430	DK 75-4821	19751027 <
	DK 146803	В	19840109		
	DK 146803	С	19840618		
	FR 2289203	A1	19760528	FR 75-32753	19751027 <
	FR 2289203	B1	19781110		
	ZA 7506732	A	19761027	ZA 75-6732	19751027 <
	AU 7586040	A1	19770505	AU 75-86040	19751027 <
	AU 498511	B2	19790315		
	SU 646913	D	19790205	SU 75-2184006	19751027 <
	BE 834939	A1	19760428	BE 75-161309	19751028 <
	JP 51068561	A2	19760614	JP 75-128991	19751028 <
	JP 59051559	В4	19841214		
	ES 442144	A1	19770801	ES 75-442144	19751028 <
	CA 1046509	A1	19790116	CA 75-238713	19751028 <
	CH 618707	A	19800815	CH 75-13950	19751028 <
	SU 628822	D	19781015	SU 76-2387269	19760810 <
	AT 7609434	Α	19770515	AT 76-9434	19761220 <
	AT 341096	В	19780125		

	CH 621799	А	198102	227 CH	80-946	19800206	<
	DK 8205523	3 A	198212	213 DK	82-5523	19821213	<
	DK 146721	В	198312	212			
	DK 146721	С	198405	521			
	JP 5910439	97 A2	198406	516 JP	83-211116	19831111	<
	JP 6005672	20 B4	198512	211			
PRAI	GB 74-466	44 1974	1029 <-				
	AT 75-8129	9 1975	1024 <-				
	DK 75-4823	1 1975	1027 <-				
	CH 75-1395	50 1975	1028 <-				
GI							

Treatment of daunomycinone with 1,2,3-trideoxy-4,6-di-O-(p-nitrobenzoyl)-3-trifluoroacetamido-L-arabino-hex-1-enopyranose (I) followed by deacylation gave 4'-epi-6'-hydroxydaunomycin II (R = H) (III). Bromination of III followed by hydroxylation gave 4'-epi-6'-hydroxyadriamycin II (R = OH) (IV). III and IV had smaller neoplasm inhibiting activities than daunomycin and adriamycin, resp., against HeLa cells. I was prepd. from Me 3-azido-4,6-O-benzylidene-2,3-dideoxy-.alpha.-L-arabino-hexopyranoside.

II

IT 58976-12-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and deglycosidation of)

RN 58976-12-8 HCAPLUS

CN .alpha.-L-arabino-Hexopyranoside, methyl 3-amino-2,3-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

AN 1976:180557 HCAPLUS

DN 84:180557

TI Streptozotocin analogs

IN Fujiwara, Allan N.; Acton, Edward M.; Henry, David W.

PA Stanford Research Institute, USA

SO U.S., 6 pp. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

r Auv.	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI GI	US 3940383	Α	19760224	US 74-531887	19741212 <

Title compds. I [R1 = OH, R2 = NHCONMeNO, R3 = R4 = H (II); R1 = R2 = H, R3 = NHCONMeNO, R4 = Me], III (R1 = OH, R2 = R3 = H, R4 = NHCONMeNO; R1 = R4 = H, R2 = OH, R3 = NHCONMeNO) and IV were prepd. from the corresponding 3-amino deriv. by treatment with MeNCO followed by nitrosation. Thus, Me 3-amino-3-deoxy-.beta.-D-xylopyranoside reacted with MeNCO to give 85% I (R1 = OH, R2 = MeNHCONH, R3 = R4 = H) which then reacted with N2O3 to give 62% II. II, III, and IV exhibited activity against murine leukemia in mice in the 9 injection schedule i.p. but caused no toxic deaths at 200 mg/kg.

IT 18977-92-9

RL: RCT (Reactant)

(reaction of, with methyl isocyanate)

RN 18977-92-9 HCAPLUS

CN .alpha.-L-lyxo-Hexopyranoside, methyl 3-amino-2,3,6-trideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L56 ANSWER 29 OF 29 HCAPLUS COPYRIGHT 1999 ACS AN 1970:67229 HCAPLUS

DN 72:67229

TI Streptozotocin

IN Hessler, Edward J.

PA Upjohn Co.

SO Ger. Offen., 10 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

ETM'	C14 T	₩.						
	PATENT NO.		KIND	DATE	APPLICATION NO.		DATE	
ΡI	DE	1925230	Α	19691127	DE	69-1925230	19690517	<
	US	3577406	A	19710504	US	68-731615	19680523	<
	GB	1191808	Α	19700513	GB	69-1191808	19690429	<
	CH	518278	A	19720131	CH	69-518278	19690502	<
	NL	6907323	Α	19691125	NL	69-7323	19690513	<
	FR	2068449	A 5	19710827	FR	69-16725	19690522	<
	FR	2068449	B1	19740201				
PRAI	US	68-731615	19680	523 <				

The antibiotic streptozotocin (I) is synthesized by the reaction of D-glucosamine (II) with MeNCO to give N-(methylcarbamoyl)-D-glucosamine, (III), which can be treated without isolation with HNO2 or NaNO2 and H2SO4 to give I. Thus, 1.79 g II in 8 ml water and 4 ml Et2O was stirred at -5.degree. with 0.60 g freshly distd. MeNCO 0.5 hr to give a soln. of III, which was added dropwise at 0.degree. to 26.1 ml of an aq. HNO2 soln., contg. 18.1-18.3 mg HNO2/ml (prepd. by passing N2O3 into water at 0.degree.), and the mixt. stirred 0.5 hr at 0.degree. to give 1.46 g I. By nitrosation with NaNO2 and H2SO4 12.8% I was obtained. II was obtained from its HCl salt by stirring 200 g II.-HCl 20 hr with 140 ml Et2NH and 2 l. EtOH and filtration. The filter cake was again stirred 20 hr with 70 ml Et2NH and 1 l. EtOH to obtain 95% II.

IT 90-77-7P

RL: IMF (Industrial manufacture); PREP (Preparation)
 (manuf. of)

RN 90-77-7 HCAPLUS

CN D-Glucopyranose, 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.